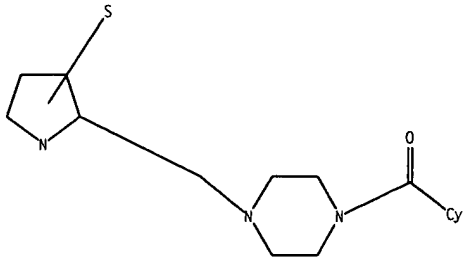
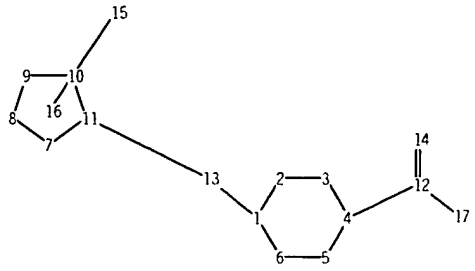
09/242,461

February 27, 2001





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12 13 14 15 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-13 4-12 11-13 12-14 12-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
1-2 1-6 1-13 2-3 3-4 4-5 4-12 5-6 7-8 7-11 8-9 9-10 10-11
12-14 12-17
exact bonds :
11-13

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
17:Atom

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=> d his


     (FILE 'HOME' ENTERED AT 17:37:36 ON 27 FEB 2001)

     FILE 'REGISTRY' ENTERED AT 17:37:42 ON 27 FEB 2001
L1             STRUCTURE UPLOADED
L2             QUE L1
L3           1 S L2
L4          17 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 17:38:20 ON 27 FEB 2001
L5           3 S L4

=> d l2

L2 HAS NO ANSWERS
L1             STR
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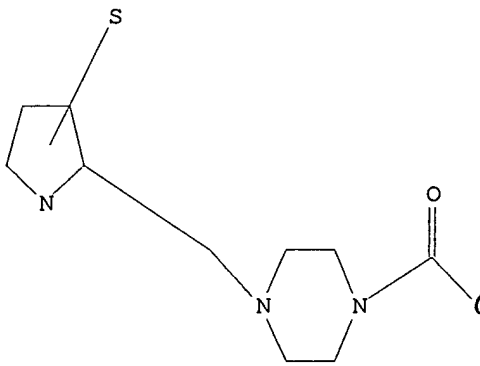

Cy yielded the same results

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Structure attributes must be viewed using STN Express query preparation.
L2             QUE  ABB=ON  PLU=ON  L1


=> d bib abs hitstr l5 1-3


L5   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2001 ACS
AN   1998:323236  CAPLUS
DN   129:16139
TI   Preparation of thioproline- and related group-containing compounds as
     inhibitors of farnesyl protein transferase
IN   Leftheris, Katherina
PA   Bristol-Myers Squibb Co., USA
SO   PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 9820001         A1   19980514       WO 1997-US20020  19971104
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
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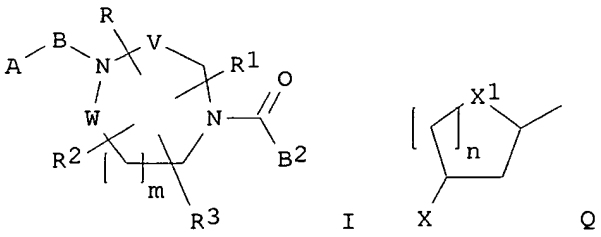
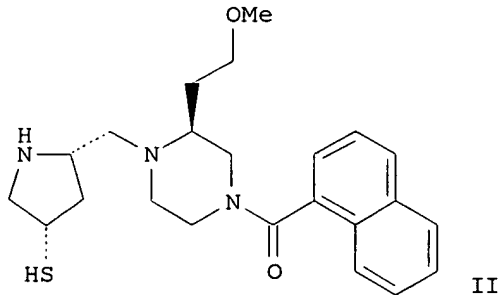
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5929077 A 19990727 US 1997-953117 19971017
AU 9851648 A1 19980529 AU 1998-51648 19971104
PRAI US 1996-29894 19961108
WO 1997-US20020 19971104
OS MARPAT 129:16139
GI



I

Q



II

AB The title compds. [I; A = (hetero)cyclic moiety Q; B, V, W = CH2, CO; B2 = alkyl, aryl, heterocyclyl; R-R3 = H, alk(en)yl, alkynyl; aryl, heterocyclyl; CONR4R5, etc.; any 2 of R-R3 may be alkylene attached to a single C atom forming a spiro ring; R4, R5 = H, OH, (cyclo)alkyl, (hetero)aryl, etc.; R4R5 may form a 5- 7-membered satd. ring; X = SH, OH, NHR6; X' = NR7, CH2, CHNHR8; R6-R8 = H, alkyl; m = 0, 1; n = 1, 2] were prepd. as inhibitors of farnesyl transferase (no data) which is an enzyme involved in ras oncogene expression. I enantiomers, diastereomers, and pharmaceutically acceptable salts, prodrugs and solvates were claimed. Thus, the compd. II was prepd. in 12 steps.

IT **207739-00-2P 207739-04-6P 207739-05-7P 207739-06-8P 207739-07-9P 207739-09-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thioproline- and related group-contg. compds, as inhibitors of farnesyl protein transferase)

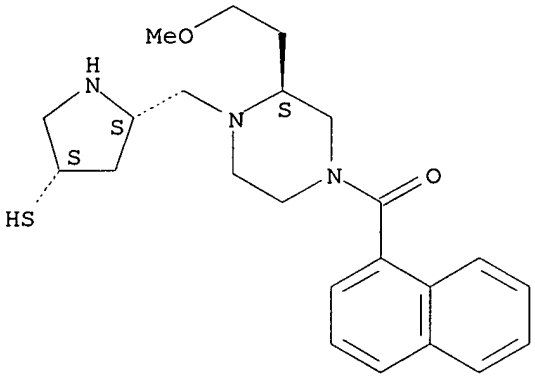
RN 207739-00-2 CAPLUS

CN Piperazine, 1-[[(2S,4S)-4-mercapto-2-pyrrolidinyl]methyl]-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-, (2S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

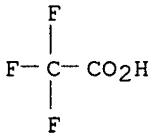
CRN 207738-99-6
CMF C23 H31 N3 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

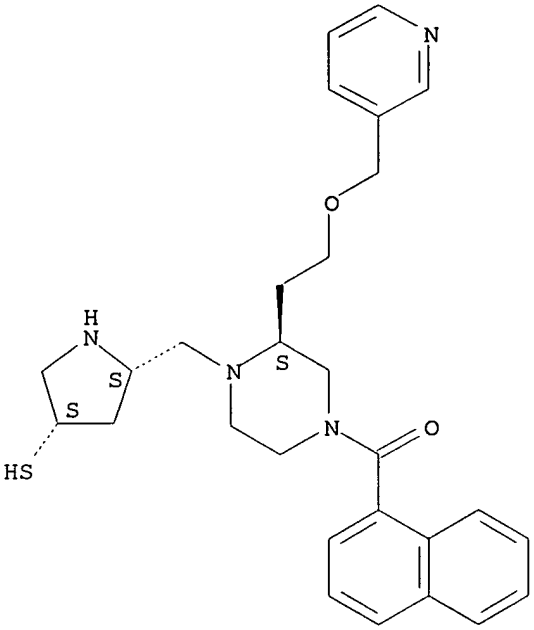


RN 207739-04-6 CAPLUS
CN Piperazine, 1-[[(2S,4S)-4-mercapto-2-pyrrolidinyl]methyl]-4-(1-naphthalenylcarbonyl)-2-[2-(3-pyridinylmethoxy)ethyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

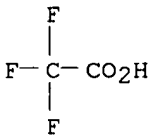
CRN 207739-03-5
CMF C28 H34 N4 O2 S

Absolute stereochemistry.



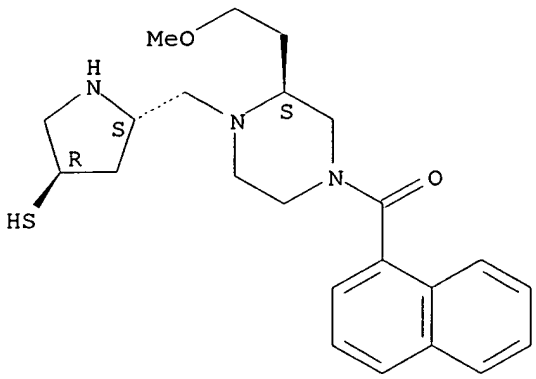
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 207739-05-7 CAPLUS
CN Piperazine, 1-[[(2S,4R)-4-mercapto-2-pyrrolidinyl]methyl]-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

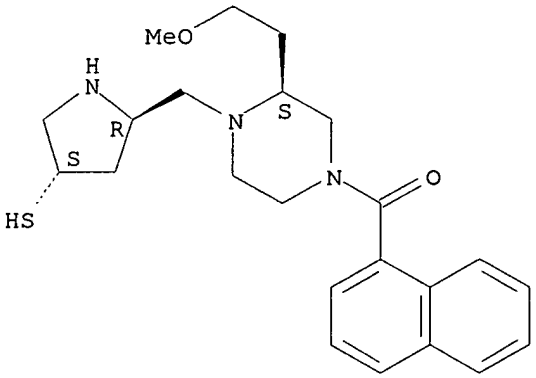
Absolute stereochemistry.



● 2 HCl

RN 207739-06-8 CAPLUS
CN Piperazine, 1-[[(2R,4S)-4-mercapto-2-pyrrolidinyl]methyl]-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

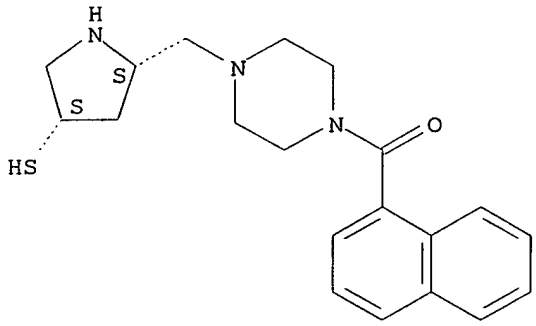
Absolute stereochemistry.



● 2 HCl

RN 207739-07-9 CAPLUS
CN Piperazine, 1-[[(2S,4S)-4-mercapto-2-pyrrolidinyl]methyl]-4-(1-naphthalenylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



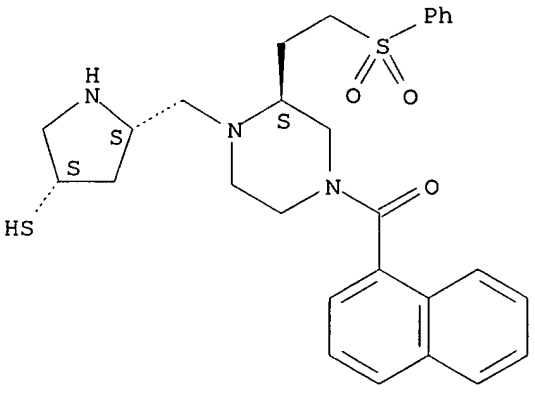
● 2 HCl

RN 207739-09-1 CAPLUS
CN Piperazine, 1-[[(2S,4S)-4-mercapto-2-pyrrolidinyl]methyl]-4-(1-naphthalenylcarbonyl)-2-[2-(phenylsulfonyl)ethyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

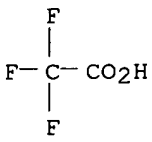
CRN 207739-08-0
CMF C28 H33 N3 O3 S2

Absolute stereochemistry.



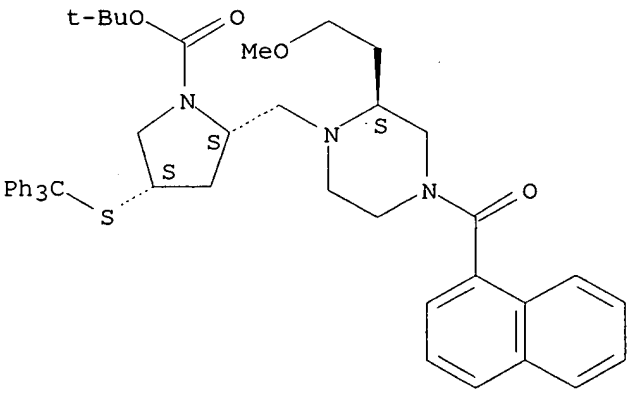
CM 2

CRN 76-05-1
CMF C2 H F3 O2



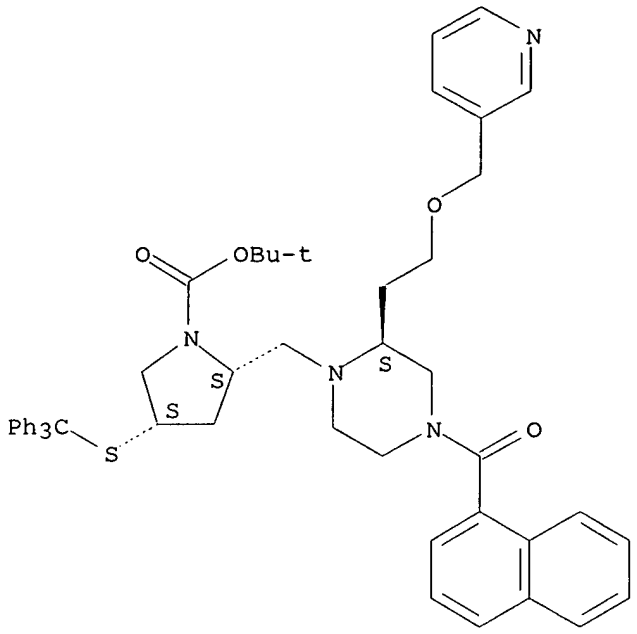
IT **207739-11-5P 207739-14-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thioproline- and related group-contg. compds, as inhibitors of farnesyl protein transferase)
RN 207739-11-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[(2S)-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-1-piperazinyl]methyl]-4-[(triphenylmethyl)thio]-, 1,1-dimethylethyl ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207739-14-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[(2S)-4-(1-naphthalenylcarbonyl)-2-[2-(3-pyridinylmethoxy)ethyl]-1-piperazinyl]methyl]-4-[(triphenylmethyl)thio]-, 1,1-dimethylethyl ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



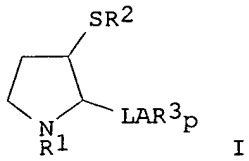
L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2001 ACS
AN 1998:147303 CAPLUS
DN 128:204800
TI Preparation of 3-mercaptopyrrolidines as farnesyl protein transferase inhibitors
IN Boyle, Francis Thomas; Wardleworth, James Michael
PA Zeneca Limited, UK; Boyle, Francis Thomas; Wardleworth, James Michael
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807692	A1	19980226	WO 1997-GB2212	19970813
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9740208	A1	19980306	AU 1997-40208	19970813
	EP 923545	A1	19990623	EP 1997-937660	19970813
	R: CH, DE, FR, GB, IT, LI				
	JP 2001500118	T2	20010109	JP 1998-510500	19970813
PRAI	GB 1996-17302	19960817			
	GB 1997-1417	19970124			
	WO 1997-GB2212	19970813			

OS MARPAT 128:204800

applicants

GI



I

AB The title compds. I [R1 = H, alkyl, COalkyl, etc.; R2 = H, alkyl, COalkyl, etc.; R3 = H, OH, cyano, NO2, etc.; p = 0-3, L is a linking moiety; A = phenyl; naphthyl, 5-10 membered monocyclic or bicyclic heteroaryl ring contg. up to 5 heteroatoms], inhibitors of ras farnesylation, were prepd..

E.g., 3-methyl-N-(2,2-diphenylethyl)-N-(cis)-3-sulfanylpyrrolidin-2-ylbutryamide was prepd. using 3-(triylsulfanyl)pyrrolidine-2-carboxylic acid as the starting material.

IT **203853-58-1P 203853-95-6P 203854-35-7P**

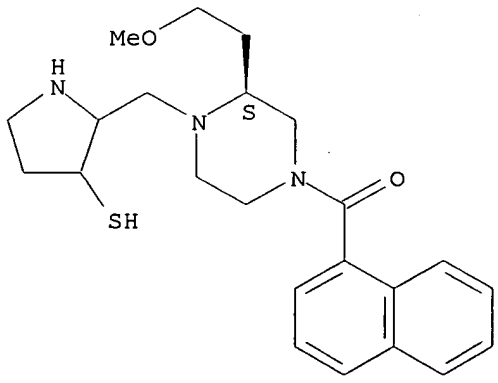
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of mercaptopyrrolidines as farnesyl protein transferase inhibitors)

RN 203853-58-1 CAPLUS

CN Piperazine, 1-[(3-mercapto-2-pyrrolidinyl)methyl]-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-, dihydrochloride, [2(S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



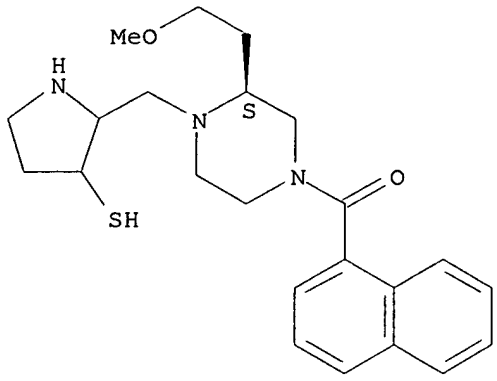
●2 HCl

RN 203853-95-6 CAPLUS

CN Piperazine, 1-[(3-mercapto-2-pyrrolidinyl)methyl]-2-(2-methoxyethyl)-4-(1-

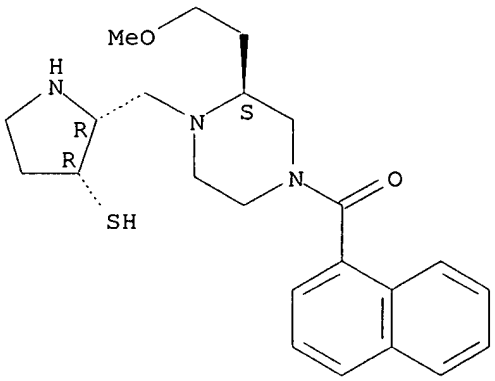
naphthalenylcarbonyl)-, [2(S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



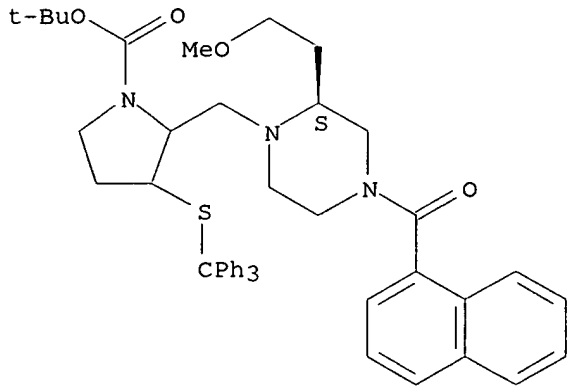
RN 203854-35-7 CAPLUS
CN Piperazine,
1-[(3-mercapto-2-pyrrolidinyl)methyl]-2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-, [2R-[2.alpha.(S*),3.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **203854-60-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of mercaptopyrrolidines as farnesyl protein transferase inhibitors)
RN 203854-60-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[2-(2-methoxyethyl)-4-(1-naphthalenylcarbonyl)-1-piperazinyl]methyl]-3-[(triphenylmethyl)thio]-, 1,1-dimethylethyl ester, [2(S)]-[partial]- (9CI) (CA INDEX NAME)

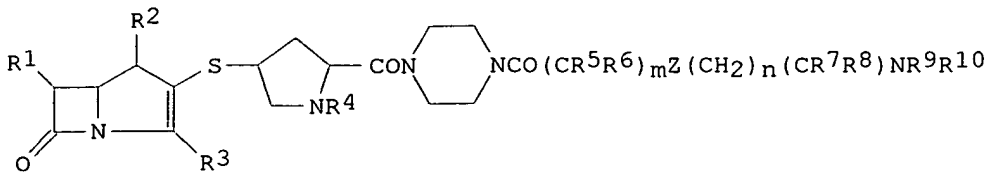
Absolute stereochemistry.



L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2001 ACS
AN 1993:495224 CAPLUS
DN 119:95224
TI Preparation of carbapenem derivatives as antibacterial agents
IN Nishi, Toshiyuki; Koda, Hiroko; Sugita, Kazuyuki; Ishida, Yohhei; Takemura, Makoto; Hayano, Takeshi
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9300344	A1	19930107	WO 1992-JP790	19920619
	W: AU, CA, FI, JP, KR, NO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	JP 05294969	A2	19931109	JP 1992-159017	19920618
	JP 3048196	B2	20000605		
	CA 2111974	AA	19930107	CA 1992-2111974	19920619
	AU 9220002	A1	19930125	AU 1992-20002	19920619
	AU 659172	B2	19950511		
	EP 641795	A1	19950308	EP 1992-913257	19920619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	RU 2091381	C1	19970927	RU 1993-58465	19920619
	NO 9304670	A	19940218	NO 1993-4670	19931217
PRAI	JP 1991-148469	19910620			
	JP 1992-31054	19920218			
	WO 1992-JP790	19920619			

OS MARPAT 119:95224
GI



I

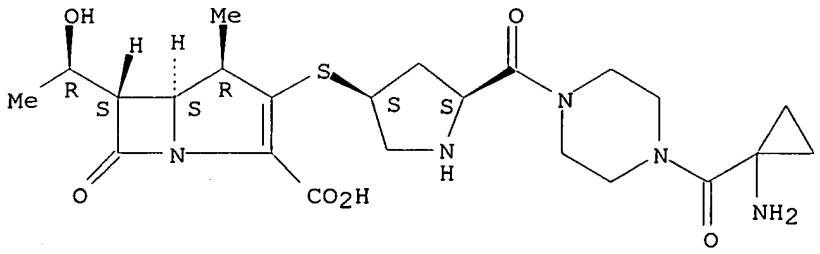
AB The title compds. [I; R1 = alkyl, (un)protected hydroxyalkyl; R2 = H, alkyl; R3 = CO2H or its ester; R4, R9, R10 = H, alkyl, NH2-protecting group; R5, R6 = H, HO, alkyl, hydroxyalkyl, halo, or R5R6 = C2-6 alkylene; R7 = H, alkyl, CONH2, (un)protected CO2H, CONR71R72 where R71, R72 = H, alkyl; R8 = H, alkyl, hydroxyalkyl or R7R8 = C2-6 alkylene; Z = single bond, O, S, (un)substituted CH2, NHCO, CONH, or NH], having a potent antibacterial activity against various bacteria, e.g., Pseudomonas aeruginosa, low toxicity, and excellent stability against hydrolases, e.g., dehydropeptidase (no data), are prepd. Thus, 83 mg (Me2CH)2NEt was added to a soln. of 0.2 g p-nitrobenzyl (1R,5S,6S,8R)-6-(1-hydroxyethyl)-1-methyl-2-oxocarbapenam-3-carboxylate in MeCN at 0.degree. followed by 173 mg (PhO)2P(O)Cl and after stirring the mixt. at 0.degree. for 1 h and then cooling it to -35.degree., 80 mg (Me2CH)2NEt and (2S,4S)-4-mercapto-1-(p-nitrobenzyloxycarbonyl)-2-[[1-[2-(p-nitrobenzyloxycarbonyl)aminoacetyl]piperazin-4-yl]carbonyl]pyrrolidine were added, and the mixt. was stirred at the same temp. for 2 h to give, after hydrogenolysis over PtO2 in THF-phosphate buffer, (1R,5S,6S,8R,2'S,4'S)-2-[[2-[[1-(2-aminoacetyl)piperazin-4-yl)carbonyl]pyrrolidin-4-yl]thio]-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylic acid. A total of 29 I were prepd.

IT **149137-64-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibacterial)

RN 149137-64-4 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[[4-[(1-aminocyclopropyl)carbonyl]-1-piperazinyl]carbonyl]-3-pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, [4R-[3(3S*,5S*),4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

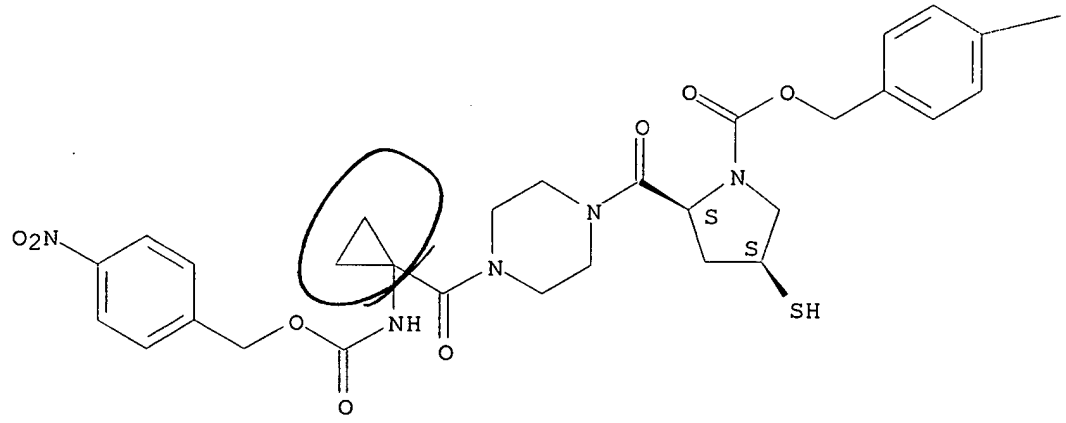
Absolute stereochemistry.



IT **149138-00-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antibacterial carbapenem deriv.)
RN 149138-00-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-mercapto-2-[[4-[[1-[[[(4-nitrophenyl)methoxy]carbonyl]amino]cyclopropyl]carbonyl]-1-piperazinyl]carbonyl]-, (4-nitrophenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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